L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 15:59:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2133 TO ITERATE

93.8% PROCESSED 2000 ITERATIONS 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 39890 TO 45430
PROJECTED ANSWERS: 2 TO 129

L2 2 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 15:59:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 44032 TO ITERATE

100.0% PROCESSED 44032 ITERATIONS 130 ANSWERS
SEARCH TIME: 00.00.01

L3 130 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 185.88 186.10

FILE 'CAPLUS' ENTERED AT 15:59:32 ON 14 AUG 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 14 Aug 2009 VOL 151 ISS 8
FILE LAST UPDATED: 13 Aug 2009 (20090813/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 9.

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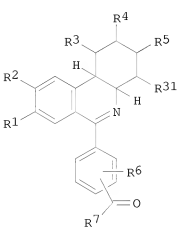
L4 4 L3

=> d abs fbib fhitstr 1-4

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
GI

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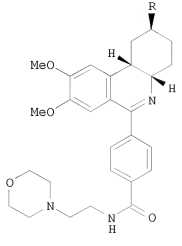


II

AB Title compds. I [wherein R1, R2 = OH or (cyclo)alkoxy; R3, R31 = H or alkyl; R4 = OH, alkoxy or alkylcarbonyloxy; R5 = H or alkyl; R6 = H, halo, alkyl or alkoxy; R7 = (un)substituted NH2; etc., or their salts and the N-oxides, and the salts of the N-oxides] were prepared as PDE4 inhibitors. For instance, II (R = OH) was synthesized by hydrolysis of its ester II (R

= OAc) with Cs2CO3 in methanol. Representative I, including II (R = OH), were found to inhibit PDE4B2 with pIC50 values of 6.42 - 9.02. Therefore, I and pharmaceutical compns. thereof are useful for treating PDE-mediated disorders, such as respiratory diseases.

AN 2005:1026938 CAPLUS
DN 143:326233
TI Preparation of amido-substituted phenylphenanthridines as PDE4 inhibitors for the treatment of respiratory diseases
IN Schmidt, Beate; Kautz, Ulrich
PA Altana Pharma AG, Germany; Kautz, Ulrich
SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2005087745 | A1 | 20050922 | WO 2005-EP51054 | 20050309 |
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| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | EP 2004-100990 | A 20040310 |
| | | | EP 2004-106677 | A 20041217 |
| AU 2005221832 | A1 | 20050922 | AU 2005-221832 | 20050309 |
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| | | | EP 2004-106677 | A 20041217 |
| | | | WO 2005-EP51054 | W 20050309 |
| CA 2558391 | A1 | 20050922 | CA 2005-2558391 | 20050309 |
| | | | EP 2004-100990 | A 20040310 |
| | | | EP 2004-106677 | A 20041217 |
| | | | WO 2005-EP51054 | W 20050309 |
| EP 1725534 | A1 | 20061129 | EP 2005-740073 | 20050309 |
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| | | | EP 2004-106677 | A 20041217 |
| | | | WO 2005-EP51054 | W 20050309 |
| CN 1926113 | A | 20070307 | CN 2005-80006855 | 20050309 |
| | | | EP 2004-100990 | A 20040310 |
| | | | EP 2004-106677 | A 20041217 |
| | | | WO 2005-EP51054 | W 20050309 |
| BR 2005008481 | A | 20070731 | BR 2005-8481 | 20050309 |
| | | | EP 2004-100990 | A 20040310 |
| | | | EP 2004-106677 | A 20041217 |
| | | | WO 2005-EP51054 | W 20050309 |
| JP 2007527901 | T | 20071004 | JP 2007-502343 | 20050309 |
| | | | EP 2004-100990 | A 20040310 |

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| | | | | EP 2004-106677 | A | 20041217 |
| | | | | WO 2005-EP51054 | W | 20050309 |
| ZA 2006006669 | A | 20080227 | | ZA 2006-6669 | | 20060811 |
| | | | | EP 2004-100990 | A | 20040310 |
| MX 2006009892 | A | 20070301 | | MX 2006-9892 | | 20060831 |
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| | | | | EP 2004-106677 | A | 20041217 |
| | | | | WO 2005-EP51054 | W | 20050309 |
| US 20070185149 | A1 | 20070809 | | US 2006-591480 | | 20060927 |
| | | | | EP 2004-100990 | A | 20040310 |
| | | | | EP 2004-106677 | A | 20041217 |
| | | | | WO 2005-EP51054 | W | 20050309 |
| NO 2006004415 | A | 20061010 | | NO 2006-4415 | | 20060929 |
| | | | | EP 2004-100990 | A | 20040310 |
| | | | | EP 2004-106677 | A | 20041217 |
| | | | | WO 2005-EP51054 | W | 20050309 |
| KR 2006130697 | A | 20061219 | | KR 2006-720318 | | 20060929 |
| | | | | EP 2004-100990 | A | 20040310 |
| | | | | EP 2004-106677 | A | 20041217 |
| | | | | WO 2005-EP51054 | W | 20050309 |
| IN 2006MN01169 | A | 20070413 | | IN 2006-MN1169 | | 20061003 |
| | | | | EP 2004-100990 | A | 20040310 |
| | | | | WO 2005-EP51054 | W | 20050309 |

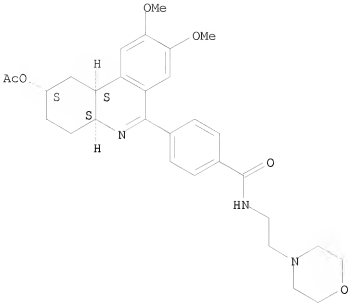
OS CASREACT 143:326233; MARPAT 143:326233

IT 865306-83-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(inhibitor; preparation of amido-substituted phenylphenanthridines as PDE4 inhibitors for the treatment of respiratory diseases)

RN 865306-83-8 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-[2-(4-morpholinyl)ethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.




RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2 = independently OH and F-substituted/cyclo/alkoxy, 2,2-difluoroethoxy, etc.; R1-R2 = alkylenedioxy; R3, R31 = independently H, alkyl; R4 = H, alkyl, OR41; R5 = OR51; R41, R51 = independently H, alkoxy/hydroxy/F-substituted/alkyl, alkylcarbonyl; R6 = H, halo, alkyl, alkoxy; R61 = H, alkoxy/alkyl; R7 = cycloalkyl, (un)substituted alkyl, 3-7 membered fully saturated heteriocyclyl, etc.; their N-oxides, and their salts] were prepared as effective PDE4 inhibitors for treating respiratory diseases. Thus, acylation of amine rac-II with methoxyacetic acid and saponification gave phenanthridine rac-III. Selected I inhibited PDE4 with -log IC50 values in the range of 8,42 to 9.73 mol/l.
AN 2005:1001807 CAPLUS
DN 143:306198
TI Preparation of 2- or 3-hydroxy-6-(substituted-carbonylaminophenyl)phenanthridines as PDE4 inhibitors
IN Schmidt, Beate; Flockerzi, Dieter; Hatzelmann, Armin; Zitt, Christof; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Kautz, Ulrich
PA Altana Pharma AG, Germany
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2005084104 | A2 | 20050915 | WO 2005-EP51025 | 20050308 |
| | WO 2005084104 | A3 | 20051013 | | |
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| | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | EP 2004-100959 A | 20040309 |
| | | | | EP 2005-100545 A | 20050127 |
| | AU 2005220034 | A1 | 20050915 | AU 2005-220034 | 20050308 |
| | | | | EP 2004-100959 A | 20040309 |
| | | | | EP 2005-100545 A | 20050127 |
| | | | | WO 2005-EP51025 W | 20050308 |
| | CA 2558375 | A1 | 20050915 | CA 2005-2558375 | 20050308 |
| | | | | EP 2004-100959 A | 20040309 |
| | | | | EP 2005-100545 A | 20050127 |
| | | | | WO 2005-EP51025 W | 20050308 |
| | EP 1745025 | A2 | 20070124 | EP 2005-729761 | 20050308 |
| | R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU | | | | |
| | | | | EP 2004-100959 A | 20040309 |
| | | | | EP 2005-100545 A | 20050127 |
| | | | | WO 2005-EP51025 W | 20050308 |
| | CN 1926111 | A | 20070307 | CN 2005-80006520 | 20050308 |
| | | | | EP 2004-100959 A | 20040309 |
| | | | | EP 2005-100545 A | 20050127 |
| | | | | WO 2005-EP51025 W | 20050308 |
| | JP 2007527899 | T | 20071004 | JP 2007-502338 | 20050308 |
| | | | | EP 2004-100959 A | 20040309 |
| | | | | EP 2005-100545 A | 20050127 |
| | | | | WO 2005-EP51025 W | 20050308 |
| | BR 2005008361 | A | 20071120 | BR 2005-8361 | 20050308 |
| | | | | EP 2004-100959 A | 20040309 |
| | | | | EP 2005-100545 A | 20050127 |
| | | | | WO 2005-EP51025 W | 20050308 |
| | ZA 2006006635 | A | 20080528 | ZA 2006-6635 | 20060810 |
| | | | | EP 2004-100959 A | 20040309 |
| | MX 2006009893 | A | 20061003 | MX 2006-9893 | 20060831 |
| | | | | EP 2004-100959 A | 20040309 |
| | | | | EP 2005-100545 A | 20050127 |
| | | | | WO 2005-EP51025 W | 20050308 |
| | US 20070191414 | A1 | 20070816 | US 2006-591478 | 20060927 |
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| | | | | EP 2005-100545 A | 20050127 |
| | | | | WO 2005-EP51025 W | 20050308 |
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| | | | | EP 2004-100959 | A | 20040309 |
| | | | | EP 2005-100545 | A | 20050127 |
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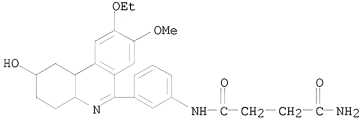
| KR 2006124784 | A | 20061205 | | KR 2006-720594 | | 20061002 |
| | | | | EP 2004-100959 | A | 20040309 |
| | | | | EP 2005-100545 | A | 20050127 |
| | | | | WO 2005-EP51025 | W | 20050308 |
| IN 2006MN01171 | A | 20070406 | | IN 2006-MN1171 | | 20061003 |
| | | | | EP 2004-100959 | A | 20040309 |
| | | | | WO 2005-EP51025 | W | 20050308 |

OS CASREACT 143:306198; MARPAT 143:306198
IT 1044694-13-4
RL: PRPH (Prophetic)
(Preparation of 2- or 3-hydroxy-6-(substituted-carbonylaminophenyl)phenanthridines as PDE4 inhibitors)
RN 1044694-13-4 CAPLUS
CN Butanediamide, N1-[3-(9-ethoxy-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl)phenyl]- (CA INDEX NAME)




RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = OH, alkoxy, cycloalkoxy, etc.; R2 = OH, cycloalkylmethoxy, cycloalkoxy, etc. or R1 and R2 together form alkylenedioxy group; R3 = H or alkyl; R4 = OR9 and R5 = H or alkyl or R4 = H or alkyl and R5 = OR9; R6 = H or alkyl; R7 = (un)substituted guanidinyl; R8 = H, halo, nitro, etc.; R9 = H, alkyl, alkoxyalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as phosphodiesterase 4 (PDE4) inhibitors. Thus, e.g., II was prepared by coupling of 4-((2RS,4aRS,10bRS)-2-acetoxy-8,9-dimethoxy-1,2,3,4,4a,10b-hexahydro-phenanthridin-6-yl)-benzoic acid with the resp. guanidinyl derivative followed by hydrolysis. The activity of I was evaluated using scintillation proximity assays and it was revealed that selected compds. of the invention displayed -log IC50 values higher than 7.5. I as inhibitor of PDE4 should provide useful in the treatment of respiratory disorders. Pharmaceutical comps. comprising I are disclosed.

AN 2005:902858 CAPLUS
DN 143:248297
TI Preparation of guanidinyl hydroxyphenylphenanthridines as PDE4 inhibitors
IN Schmidt, Beate; Flockerzi, Dieter; Hatzelmann, Armin; Zitt, Christof; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Kautz, Ulrich
PA Altana Pharma A.-G., Germany
SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| | AU 2005212857 | A1 | 20050825 | AU 2005-212857 | 20050217 |
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| | CA 2556086 | A1 | 20050825 | CA 2005-2556086 | 20050217 |
| | | | | EP 2004-3592 | A 20040218 |
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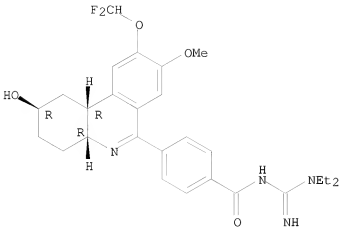
| | JP 2007523130 | T | 20070816 | JP 2006-553593 | 20050217 |
| | | | | EP 2004-3592 | A 20040218 |
| | | | | WO 2005-EP50708 | W 20050217 |
| | US 20070167482 | A1 | 20070719 | US 2006-589082 | 20060905 |
| | | | | EP 2004-3592 | A 20040218 |
| | | | | WO 2005-EP50708 | W 20050217 |

OS CASREACT 143:248297; MARPAT 143:248297
IT 862993-72-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of guanidinyl hydroxyphenylphenanthridines as PDE4 inhibitors)
RN 862993-72-4 CAPLUS
CN Benzamide, N-[(diethylamino)iminomethyl]-4-[(2R,4aR,10bR)-9-(difluoromethoxy)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.




OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
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ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
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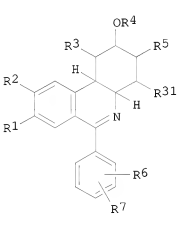


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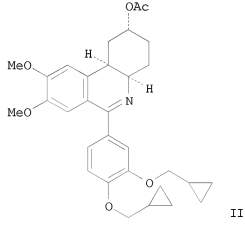


II

AB The title compds. I [wherein R1 and R2 = independently OH, alkoxy, cycloalkyloxy, cycloalkylmethoxy, or fluorinated alkoxy; or R1 and R2 together form alkylenedioxy; R3 = H or alkyl; R31 = H or alkyl; R4 = H, alkyl, fluorinated alkyl, alkoxyalkyl, hydroxyalkyl, or alkylcarbonyl; R5 = H or alkyl; R6 = H, alkyl, CF3, alkoxy, fluorinated alkoxy, cycloalkyloxy, cycloalkylmethoxy, H, NO2, CN, OH, alkylcarbonyloxy, NH2, alkylamino, dialkylamino, Ph, Ph-alkyl, alkylcarbonylamino, PhO, or (un)substituted CO2H; R7 = H, alkyl, OH, halo, alkoxy, fluorinated alkoxy, cycloalkyloxy, cycloalkylmethoxy, or (un)substituted CO2H] or salts, N-oxides, or salts of the N-oxides thereof are prepared as phosphodiesterase

(PDE) 4 inhibitors. For example, the compound II was prepared in a multi-step synthesis. I showed inhibitory activity with "-logIC50" of 7.09 to 9.74 against human PDE4. I are useful for the treatment of respiratory disorders or dermatosis (no data).

AN 2004:203669 CAPLUS
DN 140:235615
TI Preparation of 2-Hydroxy-6-phenylphenanthridines as PDE-4 inhibitors
IN Kautz, Ulrich; Schmidt, Beate
PA Altana Pharma A.-G., Germany
SO PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| | RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR | | | | |
| | | | | EP 2002-19335 | A 20020829 |
| | CA 2495827 | A1 | 20040311 | CA 2003-2495827 | 20030828 |
| | | | | EP 2002-19335 | A 20020829 |
| | | | | WO 2003-EP9547 | W 20030828 |
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| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
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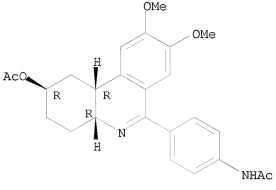
OS MARPAT 140:235615
IT 669000-72-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(drug candidate; preparation of phenanthridine derivs. as PDE-4 inhibitors)
RN 669000-72-0 CAPLUS
CN Acetamide, N-[4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.




OSC.G 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT